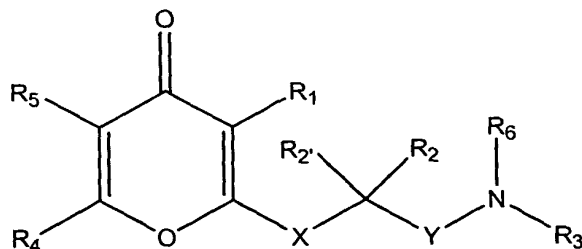


***What is claimed is:***

1. A compound having the structure represented by Formula I:



(Formula I)

wherein:

X is optionally substituted alkylene, -C(O)-, or is absent;

Y is optionally substituted alkylene, -C(O)-, or is absent;

R<sub>1</sub> is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, and optionally substituted heteroaralkyl-;

R<sub>4</sub> and R<sub>5</sub> are independently chosen from hydrogen, optionally substituted alkyl, optionally substituted alkoxy, halogen, hydroxyl, nitro, cyano, optionally substituted amino, alkylsulfonyl, alkylsulfonamido, alkylsulfanyl, carboxy, carboxyalkyl, carboxamido, aminocarbonyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaralkyl and optionally substituted heteroaryl; or R<sub>4</sub> and R<sub>5</sub>, taken together with the carbons to which they are bound, form an optionally substituted 5- to 7-membered non-aromatic ring;

R<sub>2</sub> and R<sub>2'</sub> are independently chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, and optionally substituted heteroaralkyl-; or R<sub>2</sub> and R<sub>2'</sub>, taken together with the carbon to which they are bound, form an optionally substituted 3- to 7-membered ring;

R<sub>3</sub> is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, optionally substituted heteroaralkyl-, -C(O)-R<sub>7</sub>, and -S(O)<sub>2</sub>-R<sub>7a</sub>; and R<sub>6</sub> is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaralkyl-, and optionally substituted heterocyclcyl-;

or R<sub>3</sub> taken together with R<sub>6</sub>, and the nitrogen to which they are bound, form an optionally substituted 5- to 12-membered nitrogen-containing heterocycle, which optionally incorporates from one to two additional heteroatoms, selected from N, O, and S in the heterocycle ring;

or R<sub>3</sub> taken together with R<sub>2</sub> form an optionally substituted 5- to 12-membered nitrogen-containing heterocycle, which optionally incorporates from one to two additional heteroatoms, selected from N, O, and S in the heterocycle ring;

R<sub>7</sub> is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, optionally substituted heteroaralkyl-, -OR<sub>8</sub> and -NHR<sub>14</sub>;

R<sub>7a</sub> is chosen from optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, optionally substituted heteroaralkyl-, and R<sub>14</sub>-NH-;

R<sub>8</sub> is chosen from optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, and optionally substituted heteroaralkyl-; and

R<sub>14</sub> is hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, or optionally substituted heteroaralkyl- including single stereoisomers and mixtures of stereoisomers;

a pharmaceutically acceptable salt of a compound of Formula I;

a pharmaceutically acceptable solvate of a compound of Formula I;

or a pharmaceutically acceptable solvate of a pharmaceutically acceptable salt of a compound of Formula I.

2. A compound according to claim 1, having one or more of the following:

X and Y are absent;

R<sub>1</sub> is selected from hydrogen, optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl-, optionally substituted aryl-, optionally substituted heteroaryl-, optionally substituted aryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, and optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl-;

R<sub>2'</sub> is hydrogen or optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl-;

R<sub>4</sub> and R<sub>5</sub> are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally

substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl, or R<sub>4</sub> and R<sub>5</sub>, taken together with the carbons to which they are bound form a 5- to 7-membered non-aromatic ring;

R<sub>3</sub> is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, optionally substituted heteroaralkyl-, -C(O)-R<sub>7</sub>, and -S(O)<sub>2</sub>-R<sub>7a</sub>;

R<sub>6</sub> is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaralkyl-, and optionally substituted heterocyclyl-;

R<sub>7</sub> is selected from hydrogen, optionally substituted alkyl-, optionally substituted aralkyl-, optionally substituted heteroaralkyl-, optionally substituted heteroaryl-, optionally substituted aryl-, R<sub>8</sub>O- and R<sub>14</sub>-NH-;

R<sub>8</sub> is chosen from optionally substituted alkyl and optionally substituted aryl;

R<sub>14</sub> is chosen from hydrogen, optionally substituted alkyl and optionally substituted aryl; and/or

R<sub>7a</sub> is chosen from optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, optionally substituted heteroaralkyl-, and R<sub>14</sub>-NH-.

3. A compound according to claim 2, having one or more of the following:

R<sub>1</sub> is optionally substituted phenyl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, or naphthalenylmethyl-;

R<sub>2</sub> is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl-;

R<sub>4</sub> and R<sub>5</sub> are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl;

R<sub>3</sub> is -C(O)-R<sub>7</sub>; and/or

R<sub>7</sub> is chosen from optionally substituted alkyl-; aryl-; substituted aryl-; benzyl-; and optionally substituted heteroaryl-.

4. A compound according to claim 3, having one or more of the following:

R<sub>1</sub> is naphthyl-, phenyl-, bromophenyl-, chlorophenyl-, methoxyphenyl-, ethoxyphenyl-, tolyl-, dimethylphenyl-, chlorofluorophenyl-, methylchlorophenyl-, ethylphenyl-, phenethyl-, benzyl-, chlorobenzyl-, methylbenzyl-, methoxybenzyl-, cyanobenzyl-, hydroxybenzyl-, dichlorobenzyl-, dimethoxybenzyl-, or naphthalenylmethyl-;

R<sub>2</sub> is hydrogen;

R<sub>2</sub> is ethyl or propyl;

R<sub>6</sub> is R<sub>12</sub>-alkylene-;

R<sub>12</sub> is chosen from a alkoxy, amino, alkylamino, dialkylamino, carboxy, guanidine, hydroxyl-, and N-heterocyclyl;

R<sub>4</sub> is hydrogen, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano, substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, or optionally substituted N-heterocyclyl; and/or

R<sub>5</sub> is hydrogen, lower alkyl, or halo.

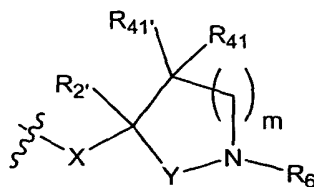
5. A compound according to claim 1, having one or more of the following:

X and Y are absent;

R<sub>1</sub> is selected from hydrogen, optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl-, optionally substituted aryl-, optionally substituted heteroaryl-, optionally substituted aryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, and optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-;

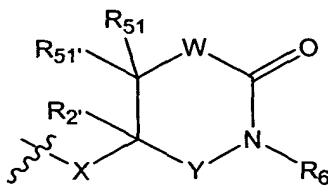
R<sub>4</sub> and R<sub>5</sub> are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl; or R<sub>4</sub> and R<sub>5</sub>, taken together with the carbons to which they are bound form a 5- to 7-membered non-aromatic ring; and/or

R<sub>2</sub> and R<sub>3</sub> taken together form an optionally substituted ring of the formula:



wherein

- R<sub>41</sub> and R<sub>41</sub>' are independently chosen from hydrogen, alkyl, aryl, aralkyl, heteroaryl, substituted alkyl, substituted aryl, substituted aralkyl and substituted heteroaryl;
- m is 0, 1, 2, or 3;
- R<sub>2</sub>' is hydrogen or optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl-;
- and
- R<sub>6</sub> is chosen from hydrogen, optionally substituted acyl, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaralkyl-, and optionally substituted heterocyclyl-.
6. A compound according to claim 5, having one or more of the following:
- R<sub>1</sub> is optionally substituted phenyl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, or naphthalenylmethyl-;
- R<sub>41</sub> and R<sub>41</sub>' are hydrogen;
- R<sub>6</sub> is optionally substituted aralkyl or optionally substituted acyl;
- R<sub>2</sub>' is hydrogen; and/or
- R<sub>4</sub> and R<sub>5</sub> are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl.
7. A compound according to claim 1, having one or more of the following:
- X and Y are absent;
- R<sub>1</sub> is selected from hydrogen, optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl-, optionally substituted aryl-, optionally substituted heteroaryl-, optionally substituted aryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, and optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-;
- R<sub>4</sub> and R<sub>5</sub> are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl
- and/or
- R<sub>2</sub> and R<sub>3</sub> taken together form an optionally substituted ring of the formula:



wherein

$R_{51}$  and  $R_{51'}$  are independently chosen from hydrogen, alkyl, aryl, aralkyl, heteroaryl, substituted alkyl, substituted aryl, substituted aralkyl and substituted heteroaryl;

$R_{2'}$  is hydrogen or optionally substituted  $C_1$ - $C_4$  alkyl-;

W is a covalent bond,  $CR'R''$  or  $NR'''$ ;

$R'$  and  $R''$  are independently chosen from hydrogen, hydroxy, amino, optionally substituted aryl, optionally substituted alkylamino, optionally substituted alkyl and optionally substituted alkoxy;

$R'''$  is chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and optionally substituted heteroaralkyl; and

$R_6$  is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaralkyl-, and optionally substituted heterocyclyl-.

8. A compound according to claim 7, having one or more of the following:

$R_1$  is optionally substituted phenyl- $C_1$ - $C_4$ -alkyl-, optionally substituted heteroaryl- $C_1$ - $C_4$ -alkyl-, or naphthalenylmethyl-;

$R_{51}$  is hydrogen or optionally substituted lower alkyl;

$R_{51'}$  is hydrogen or optionally substituted lower alkyl;

$R_6$  is optionally substituted aryl or optionally substituted aralkyl;

W is  $CR'R''$  where  $R'$  and/or  $R''$  are hydrogen or W is  $NR'''$  where  $R'''$  is hydrogen or optionally substituted alkyl; and/or

$R_{2'}$  is hydrogen.

9. A compound according to claim 1, having one or more of the following:

X and Y are absent;

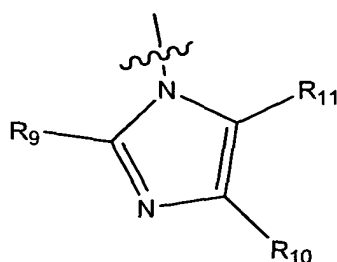
R<sub>1</sub> is selected from hydrogen, optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl-, optionally substituted aryl-, optionally substituted heteroaryl-, optionally substituted aryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, and optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl-;

R<sub>2</sub>' is hydrogen or optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl-;

R<sub>4</sub> and R<sub>5</sub> are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl; or R<sub>4</sub> and R<sub>5</sub>, taken together with the carbons to which they are bound form a 5- to 7-membered non-aromatic ring; and/or

R<sub>3</sub> taken together with R<sub>6</sub> and the nitrogen to which they are bound, forms an optionally substituted imidazoliny ring of the formula:



R<sub>9</sub> is chosen from hydrogen, optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl-, optionally substituted aryl-, optionally substituted aryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, optionally substituted aryl-C<sub>1</sub>-C<sub>4</sub>-alkoxy, optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkoxy, and optionally substituted heteroaryl-; and

R<sub>10</sub> and R<sub>11</sub> are independently hydrogen, optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl-, optionally substituted aryl-, or optionally substituted aryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-.

10. A compound according to claim 9, having one or more of the following:

R<sub>1</sub> is optionally substituted phenyl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, or naphthalenylmethyl-;

R<sub>2</sub>' is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl-;

R<sub>4</sub> and R<sub>5</sub> are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl;

R<sub>9</sub> is phenyl substituted with C<sub>1</sub>-C<sub>4</sub>-alkyl-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, and/or halo; phenyl-; benzyl-; thiophenyl-; or thiophenyl- substituted with C<sub>1</sub>-C<sub>4</sub>-alkyl-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, and/or halo;

R<sub>10</sub> is substituted C<sub>1</sub>-C<sub>4</sub> alkyl-; and/or

R<sub>11</sub> is hydrogen.

11. A compound according to claim 1, having one or more of the following:

X and Y are absent;

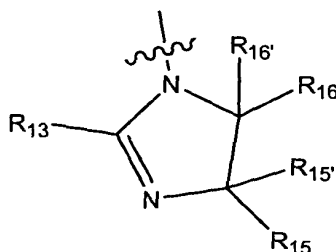
R<sub>1</sub> is selected from hydrogen, optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl-, optionally substituted aryl-, optionally substituted heteroaryl-, optionally substituted aryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, and optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl-;

R<sub>2</sub>' is hydrogen or optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl-;

R<sub>4</sub> and R<sub>5</sub> are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl; or R<sub>4</sub> and R<sub>5</sub>, taken together with the carbons to which they are bound form a 5- to 7-membered non-aromatic ring; and/or

R<sub>3</sub> taken together with R<sub>6</sub> forms an optionally substituted imidazoliny ring of the formula:



wherein

R<sub>13</sub> is chosen from hydrogen, optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl-, optionally substituted aryl-, optionally substituted aryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, optionally substituted heteroaryl-,



optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-; and

R<sub>15</sub>, R<sub>15'</sub>, R<sub>16</sub>, and R<sub>16'</sub> are independently chosen from hydrogen, optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl-, optionally substituted aryl-, and optionally substituted aryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-.

12. A compound according to claim 11, having one or more of the following:

R<sub>1</sub> is optionally substituted phenyl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, optionally substituted

heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, or naphthalenylmethyl-;

R<sub>2'</sub> is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl-;

R<sub>4</sub> and R<sub>5</sub> are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl;

R<sub>13</sub> is methylenedioxyphenyl-; phenyl-; phenyl substituted with C<sub>1</sub>-C<sub>4</sub> alkyl-, C<sub>1</sub>-C<sub>4</sub> alkoxy-, and/or halo; benzyl-; thienyl substituted with C<sub>1</sub>-C<sub>4</sub> alkyl; benzyl; thiophenyl-; or thiophenyl- substituted with C<sub>1</sub>-C<sub>4</sub>-alkyl-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, and/or halo; and/or

R<sub>15</sub>, R<sub>15'</sub>, R<sub>16</sub>, and R<sub>16'</sub> are independently hydrogen or optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl-.

13. A compound according to claim 1, having one or more of the following:

X and Y are absent;

R<sub>1</sub> is selected from hydrogen, optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl-, optionally substituted aryl-, optionally substituted heteroaryl-, optionally substituted aryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, and optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-;

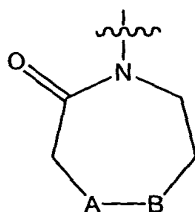
R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl-;

R<sub>2'</sub> is hydrogen or optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl-;

R<sub>4</sub> and R<sub>5</sub> are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl; or R<sub>4</sub> and R<sub>5</sub>, taken together with the carbons to which they are bound form a 5- to 7-membered non-aromatic ring; and/or

R<sub>3</sub> taken together with R<sub>6</sub> forms an optionally substituted diazepinone ring of the

formula:



wherein

A and B are each independently chosen from C(R<sub>20</sub>)(R<sub>21</sub>), N(R<sub>22</sub>), O or S;

R<sub>20</sub> and R<sub>21</sub> are each independently selected from H, optionally substituted alkyl  
optionally substituted aryl and optionally substituted heteroaryl; and

R<sub>22</sub> is H, optionally substituted alkyl, optionally substituted aralkyl, optionally  
substituted heteroaralkyl, optionally substituted alkylcarbonyl, optionally  
substituted arylcarbonyl, optionally substituted heteroarylcarbonyl, optionally  
substituted aralkylcarbonyl, optionally substituted heteroaralkylcarbonyl,  
optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl,  
optionally substituted heteroaryloxy carbonyl, optionally substituted  
aralkyloxy carbonyl, optionally substituted heteroaralkyloxy carbonyl.

14. A compound according to claim 1, having one or more of the following:

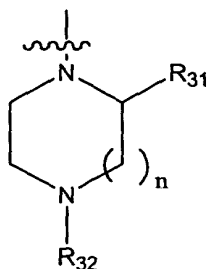
X and Y are absent;

R<sub>1</sub> is selected from hydrogen, optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl-, optionally  
substituted aryl-, optionally substituted heteroaryl-, optionally substituted  
aryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, and optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl-;

R<sub>2'</sub> is hydrogen or optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl-;

R<sub>4</sub> and R<sub>5</sub> are independently chosen from hydrogen, hydroxyl, halo, optionally  
substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally  
substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally  
substituted N-heterocyclyl; or R<sub>4</sub> and R<sub>5</sub>, taken together with the carbons to  
which they are bound form a 5- to 7-membered non-aromatic ring; and/or  
R<sub>3</sub> taken together with R<sub>6</sub> forms an optionally substituted piperazine- or diazepam of  
the formula:



R<sub>31</sub> and R<sub>32</sub> are independently chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, and optionally substituted heteroaralkyl; and n is 1 or 2.

15. A compound according to claim 14, having one or more of the following:  
R<sub>1</sub> is optionally substituted phenyl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, or naphthalenylmethyl-;  
R<sub>2</sub> is hydrogen;  
R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl-;  
R<sub>4</sub> and R<sub>5</sub> are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl;  
R<sub>31</sub> is aryl, substituted aryl, aralkyl, heteroaralkyl, substituted aralkyl, or substituted heteroaralkyl;  
R<sub>32</sub> is hydrogen; and/or  
n is 1.
  
16. A compound according to claim 1, having one or more of the following:  
R<sub>1</sub> is optionally substituted phenyl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, or naphthalenylmethyl-;  
R<sub>2</sub> is hydrogen;  
R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl-;  
R<sub>4</sub> and R<sub>5</sub> are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally

substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl;

R<sub>3</sub> is -S(O)<sub>2</sub>-R<sub>7a</sub>;

R<sub>6</sub> is R<sub>12</sub>-alkylene-;

R<sub>12</sub> is chosen from alkoxy, amino, alkylamino, dialkylamino, carboxy, hydroxyl-, and N-heterocyclyl-; and/or

R<sub>7a</sub> is chosen from C<sub>1</sub>-C<sub>13</sub> alkyl-, phenyl-, naphthyl-, phenyl substituted with cyano, halo, lower-alkyl-, lower-alkoxy, nitro, methylenedioxy, or trifluoromethyl-, biphenyl and heteroaryl-.

17. A compound according to claim 16, having one or more of the following:

R<sub>1</sub> is naphthyl-, phenyl-, bromophenyl-, chlorophenyl-, methoxyphenyl-, ethoxyphenyl-, tolyl-, dimethylphenyl-, chlorofluorophenyl-, methylchlorophenyl-, ethylphenyl-, phenethyl-, benzyl-, chlorobenzyl-, methylbenzyl-, methoxybenzyl-, cyanobenzyl-, hydroxybenzyl-, dichlorobenzyl-, dimethoxybenzyl-, or naphthalenylmethyl-;

R<sub>2</sub> is hydrogen and R<sub>2</sub> is ethyl or propyl;

R<sub>4</sub> is hydrogen, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano, substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, or optionally substituted N-heterocyclyl;

R<sub>5</sub> is hydrogen, lower alkyl, or halo; and/or

R<sub>7a</sub> is chosen from phenyl substituted with halo, lower-alkyl-, lower-alkoxy, cyano, nitro, methylenedioxy, or trifluoromethyl-; and naphthyl-.

18. A compound according to claim 1 wherein

X is absent;

Y is absent;

R<sub>1</sub> is optionally substituted aryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, or naphthalenylmethyl ;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub>-alkyl-;

R<sub>2</sub> is hydrogen;

R<sub>4</sub> is methyl or phenyl;

R<sub>5</sub> is hydrogen or methyl;

and

R<sub>3</sub> is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, optionally substituted heteroaralkyl-, -C(O)-R<sub>7</sub>, and -S(O)<sub>2</sub>-R<sub>7a</sub>; and R<sub>6</sub> is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaralkyl-, and optionally substituted heterocyclyl-;

or R<sub>3</sub> taken together with R<sub>6</sub>, and the nitrogen to which they are bound, form an optionally substituted 5- to 12-membered nitrogen-containing heterocycle, which optionally incorporates from one to two additional heteroatoms, selected from N, O, and S in the heterocycle ring.

19. A compound according to claim 18, wherein

R<sub>3</sub> is -C(O)R<sub>7</sub>;

R<sub>6</sub> is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaralkyl-, and optionally substituted heterocyclyl- and

R<sub>7</sub> is selected from hydrogen, optionally substituted alkyl-, optionally substituted aralkyl-, optionally substituted heteroaralkyl-, optionally substituted heteroaryl-, optionally substituted aryl-, R<sub>8</sub>O- and R<sub>14</sub>-NH-, wherein R<sub>8</sub> is chosen from optionally substituted alkyl and optionally substituted aryl and R<sub>14</sub> is chosen from hydrogen, optionally substituted alkyl and optionally substituted aryl.

20. A compound according to any one of claims 1-4 or 9-19 wherein R<sub>2</sub> and R<sub>2'</sub> are each attached to a stereogenic center having an R-configuration.

21. A composition comprising a pharmaceutical excipient and a compound, salt, or solvate thereof of any one of claims 1-19.

22. A composition according to claim 21, wherein said composition further comprises a chemotherapeutic agent other than a compound of Formula I or a pharmaceutical salt or solvate thereof.

23. A composition according to claim 22, wherein said composition further comprises a

taxane.

24. A composition according to claim 22, wherein said composition further comprises a vinca alkaloid.

25. A composition according to claim 22, wherein said composition further comprises a topoisomerase I inhibitor.

26. A method of inhibiting KSP which comprises contacting said kinesin with an effective amount of a compound according to any one of claims 1 to 19.

27. A method for the treatment of a cellular proliferative disease comprising administering to a subject in need thereof a compound according to any one of claims 1-19.

28. A method for the treatment of a cellular proliferative disease comprising administering to a subject in need thereof a composition according to any one of claims 21-25.

29. A method according to claim 28 wherein said disease is selected from the group consisting of cancer, hyperplasias, restenosis, cardiac hypertrophy, immune disorders, and inflammation.

30. The use, in the manufacture of a medicament for treating cellular proliferative disease, of a compound according to any one of claims 1-19.

31. The use of a compound as defined in claim 30 for the manufacture of a medicament for treating a disorder associated with KSP kinesin activity.